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L6: Entry 22 of 34

File: USPT

Dec 19, 2000

US-PAT-NO: 6162055

DOCUMENT-IDENTIFIER: US 6162055 A

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TITLE: Light activated tooth whitening composition and method of using same

DATE-ISSUED: December 19, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Montgomery; Robert Eric	Monterey	MA		
Nathoo; Salim A.	Piscataway	NJ		

ASSIGNEE-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY	TYPE CODE
BriteSmile, Inc.	Walnut Creek	CA			02

APPL-NO: 09/ 234038 [PALM]

DATE FILED: January 19, 1999

PARENT-CASE:

The present application claims priority from provisional application Ser. No. 60/074,708, filed Feb. 13, 1998 and Ser. No. 60/075,222, filed Feb. 19, 1998, the contents of which are hereby incorporated by reference into the present disclosure.

INT-CL: [07] A61 K 7/16

US-CL-ISSUED: 433/216; 433/29

US-CL-CURRENT: 433/216; 433/29

FIELD-OF-SEARCH: 433/29, 433/215, 433/216

PRIOR-ART-DISCLOSED:

U.S. PATENT DOCUMENTS

Search Selected**Search ALL**

glycerol
disclosed
not
claimed

	PAT-NO	ISSUE-DATE	PATENTEE-NAME	US-CL
<input type="checkbox"/>	<u>Re34196</u>	March 1993	Munro	433/215
<input type="checkbox"/>	<u>4256730</u>	March 1981	Benedict	424/52
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<input type="checkbox"/>	<u>4837008</u>	June 1989	Rudy et al.	424/53
<input type="checkbox"/>	<u>4971782</u>	November 1990	Rudy et al.	424/53
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<input type="checkbox"/>	<u>5531915</u>	July 1996	Perkins	510/294
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<input type="checkbox"/>	<u>5713738</u>	February 1998	Yarborough	433/215
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<input type="checkbox"/>	<u>5785527</u>	July 1998	Jensen et al.	433/215
<input type="checkbox"/>	<u>5816802</u>	October 1998	Montgomery	433/80
<input type="checkbox"/>	<u>5858332</u>	January 1999	Jensen et al.	424/53

FOREIGN PATENT DOCUMENTS

FOREIGN-PAT-NO	PUBN-DATE	COUNTRY	US-CL
WO 91/14650	October 1991	WO	
WO 97/11676	April 1997	WO	
WO 98/04235	February 1998	WO	
98/58595	December 1998	WO	

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C. G. Toh, "Clinical Evaluation of a dual-activated bleaching system"; Asian J. Aesthet. Dent, I: 65-70, (1993).
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Shofu.RTM., HiLite.RTM. Dual Activated Bleaching System, Instruction Manual, Shofu Dental Corporation (Menlo Park, CA) Nov. 1997.
Goldstein et al., eds., Complete Dental Bleaching, Ch. 4, Quintessence Publishing Co., Inc., Chicago (1995).
Montgomery et al., "Photoinduced Stain Removal in Bovine Enamel," Journal of Dental Research, 78: Abstract # 1158 (1999).
Ultradent Promotional Catalog, "Opalescence.RTM. Xtra.TM. Whitening Gel & OpalDam.TM. Reflective Resin Barrier," Ultradent Products, Inc., pp. 1-4, Utah (1998).
Union Broach Operator's Manual, "Operating Instructions Illuminator," Union Broach, A Health-Chem Company, pp. 1-15.

ART-UNIT: 372

PRIMARY-EXAMINER: Lewis; Ralph A.

ATTY-AGENT-FIRM: Kalow & Springut LLP

ABSTRACT:

The present invention provides a tooth whitening composition having a transparent first component that is a carrier compound and a transparent second component that is an oxidizing compound which when applied to a stained tooth and exposed to actinic light is activated to facilitate tooth whitening. The invention also provides a method for light-activated tooth whitening which comprise applying a tooth-whitening composition to one or more teeth and exposing said composition to actinic light to activate said oxidizing compound.

12 Claims, 8 Drawing figures

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Nathoo; Salim A.	Piscataway	NJ		

US-CL-CURRENT: 433/216; 433/29

CLAIMS:

What is claimed:

1. A method for light-activated tooth whitening comprising the steps of:

applying a photosensitizing agent which absorbs actinic radiation when in contact with the surface of a tooth to one or more teeth;

applying an tooth-whitening composition containing a transparent carrier compound and a transparent oxidizing compound capable of facilitating tooth whitening on top of said photosensitizing agent; and

exposing said photosensitizing agent and said tooth-whitening composition to actinic light to activate said oxidizing compound.

2. A method as set forth in claim 1 wherein said photosensitizing agent absorbs light having a range of wavelengths from about 350 nanometers to about 700 nanometers and converts that energy into thermal or chemical energy.

3. A method as set forth in claim 2 wherein said photosensitizing agent absorbs light having a range of wavelengths from about 380 nanometers to about 500 nanometers.

4. A method as set forth in claim 1 wherein said photosensitizing agent is of molecular size, pH and surface charge to allow for effective penetration into the structure of the enamel and dentin.

5. A method as set forth in claim 1 wherein said photosensitizing agent is selected from a group consisting of nanometer sized semiconductor particles, benzophenone derivatives, benzotriazole derivatives, diketones, metal-ligand complexes, and phthalocyanin-metal complexes.

6. A method as set forth in claim 1 wherein said light source emits light having a range from about 350 nanometers to about 700 nanometers.

7. A method as set forth in claim 6 wherein said light source emits light having a range from about 380 nanometers to about 500 nanometers.

8. A method as set forth in claim 1, wherein the transparent oxidizing compound is selected from the group consisting of peroxides, peroxyacids and combinations thereof.
9. A method as set forth in claim 8, wherein the transparent oxidizing compound is a peroxide.
10. A method as set forth in claim 9, wherein the peroxide is hydrogen peroxide.
11. A method as set forth in claim 8, wherein the transparent oxidizing compound is a peroxyacid.
12. A method as set forth in claim 11, wherein the peroxyacid is peroxyacetic acid.

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TITLE: Light activated tooth whitening composition and method of using same

Brief Summary Text (4):

A tooth stain classification system, termed the N (Nathoo) Classification System, has been proposed (J. of the Amer. Dental Asso., Vol. 128, Special Supplement, April 1997). One form of direct dental stain is the N1 type stain which occurs when a chromogenic material binds to the tooth surface to cause discoloration similar in color to that of the unbound chromogen. Another type of direct dental stain is the N2 type stain, in which a chromogenic material binds to the tooth surface and subsequently undergoes a color change after binding to the tooth. Finally, an N3 stain is an indirect dental stain, caused by the binding of a colorless material (prechromogen) to the tooth, said prechromogen undergoing a chemical reaction that converts it into a chromogen that causes tooth stain. Tooth stains may be either extrinsic or intrinsic, depending upon their location within the tooth structure. For example, extrinsic staining of the acquired pellicle arises as a result of compounds such as tannins and other polyphenolic compounds which become trapped in and tightly bound to the proteinaceous layer on the surface of the teeth. This type of staining can usually be removed by mechanical methods of tooth cleaning that remove all or part of the acquired pellicle together with the associated stain. In contrast, intrinsic staining occurs when chromogens or prechromogens penetrate the enamel and dentin and become tightly bound to the tooth structure. Intrinsic staining may also arise from systemic sources of chromogens or prechromogens, for instance, when excess fluoride intake during enamel development leads to the mottled yellow or brown spots typical of fluorosis staining. Intrinsic staining is not amenable to mechanical methods of tooth cleaning and generally requires the use of chemicals, such as hydrogen peroxide, that can penetrate into the tooth structure, in order to affect a change in the light absorptivity of the chromogen. Intrinsic tooth staining is generally more intractable and difficult to remove than extrinsic tooth staining.

Brief Summary Text (6):

Among the chemical strategies available for removing or destroying tooth stains, the most effective compositions contain an oxidizing agent, such as hydrogen peroxide, in order to attack the chromogen molecules in such a way as to render them colorless, water-soluble, or both. In one of the most popular approaches to whitening a patient's teeth, a dental professional will construct a custom-made tooth-bleaching tray for the patient from an impression made of the patient's dentition and prescribe the use of an oxidizing gel to be dispensed into the tooth-bleaching tray and worn intermittently over a period of time ranging from about 2 weeks to about 6 months, depending upon the severity of tooth staining. These oxidizing compositions, usually packaged in small plastic syringes, are dispensed directly by the patient, into the custom-made tooth-bleaching tray, held in place in the mouth for contact times of greater than about 60 minutes, and sometimes as long as 8 to 12 hours. The slow rate of bleaching is in large part the consequence of the very nature of formulations that are developed to maintain stability of the oxidizing composition. The most commonly used oxidative compositions contain the hydrogen peroxide precursor carbamide peroxide which is mixed with an anhydrous or low-water content, hygroscopic viscous carrier containing glycerin and/or propylene glycol and/or polyethylene glycol. When contacted by water, carbamide peroxide dissociates into urea and hydrogen peroxide. Associated with the slow rate of bleaching in the hygroscopic carrier, the currently available

tooth-bleaching compositions cause tooth sensitization in over 50% of patients. Tooth sensitivity is believed to result from the movement of fluid through the dentinal tubules, which is sensed by nerve endings in the tooth. The carriers for the carbamide peroxide enhance this movement. In fact, it has been determined that glycerin, propylene glycol and polyethylene glycol can each give rise to varying amounts of tooth sensitivity following exposure of the teeth to heat, cold, overly sweet substances, and other causative agents.

Brief Summary Text (10):

Attempts have been made to activate peroxides with heat and/or light for the purpose of whitening teeth. U.S. Pat. No. 4,661,070 discloses a method of whitening stained teeth which includes the application of a concentrated solution of hydrogen peroxide within the pulp chamber or upon the surface of a discolored tooth, followed by exposing the discolored tooth to optical energy consisting of both ultraviolet and infrared light. The preferred wavelengths of light disclosed by this patent are from 320 to 420 nanometers and from 700 to 1200 nanometers, with light in the visible spectrum (wavelengths from 500 and 700 nanometers) being suppressed. The disclosed method suffers from two serious drawbacks: (1) ultraviolet light can be hazardous to the patient and practitioner alike and (2) infrared light may cause irreversible pulpitis if not handled with care.

Brief Summary Text (12):

U.S. Pat. No. 5,032,178 discloses compositions and methods to improved tooth whitening efficacy which uses exposure to "optical energy", preferably in the visible spectrum wavelength range of 400 to 700 nanometers. The compositions disclosed in this patent require the use of (1) an inert silica gelling agent, (2) a catalytic accelerator (either manganese sulfate monohydrate or ferrous sulfate), (3) an agent for providing thixoplasticity and thickening properties to the composition, such as cellulose ethers and methyl vinyl ethers, and (4) a means for indicating completion of the bleaching treatment of the teeth, comprising a redox color indicator for transforming from one color to another in response to the dissociation of hydrogen peroxide over a given time period. Compositions described therein are mixed homogeneously prior to use and all of the required components, including the catalyst, are dispersed evenly throughout the mixture. The compositions described are not highly transparent to light energy in the range of 400 to 700 nm, due to the presence of the high levels of inorganic silica particles. Commercial mixtures based on this patent (available under the trade name Shofu Hi-Lite.RTM. from Shofu Dental Corporation, Menlo Park, Calif.) confirm that these preparations are not transparent to visible light, but rather are quite opaque. Typical results obtained using such compositions and methods are about 2 to 3 VITA.RTM. shades improvement in tooth color, similar to that achieved with compositions that do not employ light energy in the process of bleaching teeth.

Brief Summary Text (14):

A commercial product called Opalescence Xtra available for bleaching teeth in the controlled environment of a dental office has recently been introduced by Ultradent Products, Inc, South Jordan, Utah. This product is believed to be based on the disclosure of U.S. Pat. No. 5,785,527. The commercial product is supplied in a plastic syringe and is described in the accompanying literature as a light-activated tooth whitening gel, which contains approximately 35% hydrogen peroxide. A pH determination showed the product to have a neat pH at 25.degree. C. of about 4.0. The product is thickened to a loose, gel-like consistency with a polymer. Additionally, the product as sold, and as disclosed in U.S. Pat. No. 5,785,527, contains a bright orange pigment or dye (carotene), which presumably serves as the "photosensitizer". The manufacturer also claims that the photosensitizer is able to absorb light energy and convert it into heat energy, thereby increasing the activity of the peroxide as a tooth bleaching agent. The presence of a photoabsorber in the aforementioned composition renders it relatively opaque to wavelengths from about 400 to 700 nm. Exposure of this composition to light energy between 400 and 700 nm results in a gradual fading of the orange color, presumably due to a photobleaching effect in the presence of the hydrogen peroxide. Comparative clinical results show an improvement in tooth color of from about 3 to 4 VITA.RTM. shades, which is highly dependent upon the contact time of the composition on the tooth surface, rather than any particular light or heat activation regimen. In addition, the low pH of the commercial product may cause a reduction in the microhardness of tooth enamel, due

to the dissolution of hydroxyapatite crystals (which can occur at a pH of around 5.5 or less).

Brief Summary Text (20):

It is yet another object of this invention to provide compositions and methods for whitening teeth whereby the extent of tooth whitening, in addition to the types of tooth stains removed, can be controlled by the duration, intensity and wavelength of actinic radiation exposure at the tooth surface.

Detailed Description Text (2):

This section details the preferred embodiments of the subject invention. These embodiments are set forth to illustrate the invention, but are not to be construed as limiting. Since the present disclosure is directed to those skilled in the art field and is not primer on the manufacture of tooth whitening compositions or their use or on devices for using such compositions, basic concepts and standard features known to those skilled in the art are not set forth in detail. Details for concepts such as choosing appropriate construction materials or ingredients, operating conditions or manufacturing techniques, etc. are known or readily determinable to those skilled in the art. Attention is directed to the appropriate texts and references known to those skilled in the art for details regarding these and other concepts which may be required in the practice of the invention; see, for example, Kirk-Othmer Encyclopedia of Chemical Technology, 4th Edition, Volumes 4 (1992), 13 (1995), 18 (1996), John Wiley & Sons, NY; Goldstein and Garber, Complete Dental Bleaching, Quintessence Publishing Co. 1995; and the aforementioned Journal of the American Dental Association, Vol. 128, Special Supplement, April 1997, the disclosures of which are hereby incorporated by reference into the present disclosure to aid in the practice of the invention. The development of the inventive compositions and methods described herein resulted from the unexpected discovery that extremely rapid tooth whitening occurs by allowing actinic radiation to penetrate through the oxidizing compound, which is placed directly onto the tooth surface to be whitened. This discovery is antithetical to all prior art compositions that include a light (or heat) absorbing additive dispersed directly in and homogeneously throughout the oxidizing compound. The inventive compositions, on the other hand, allow actinic radiation to reach the stained tooth surface at higher power densities than prior art compositions that are specifically designed to absorb light. Actinic radiation is thus more effectively utilized compared to prior art compositions and methods in which compositions are both opaque to most wavelengths of light and are activated directly by the actinic radiation. As the greatest oxidizing activity is required in the few millimeters of enamel and dentin at the tooth surface, the present inventive compositions and methods are more effective at removing tooth stains, in many cases with lower levels of active oxidizing agents, thereby resulting in safer compositions for use in the oral cavity.

Detailed Description Text (7):

It is preferred that the inventive photosensitizers are of a molecular size, charge, pH and hydrophobicity/hydrophilicity to allow for effective penetration into the deeper structures of enamel and dentin. The more readily a photosensitizer penetrates the tooth structure, the more likely that, upon exposure of the photosensitizer to actinic radiation at the appropriate wavelength and energy, said energy will be converted into oxidative activity at the site of, or in close proximity to, the chromogen itself. Photosensitizers having a molecular size, net charge, pH, and/or a hydrophobicity/hydrophilicity which prevent or limit penetration into deeper tooth structures are of utility in the practice of the present invention, but may be limited to the removal and/or destruction of chromogens located at the outer tooth surface (extrinsic stains).

Detailed Description Text (8):

Especially preferred photosensitizers belong to the general class of water-soluble metal-ligand complexes which absorb light in the range of from about 350 nm to about 700 nm. For the purposes of the present disclosure, the term "ligand" will mean an organic molecule capable of complexing or associating with a metal ion in aqueous solution, such that the reactivity, solubility, or any other physical property of said metal ion is changed. Such metal-ligand complexes are also known as metal-coordination complexes. Suitable metals ions include iron, manganese, copper, and other transition metal ions. Various valence states may be used or may be

present simultaneously. The metal ions may be present in saliva, plaque, or the acquired pellicle on the tooth surface. Metal ions may also contribute, through formation of oxides, to certain types of tooth stains. Suitable metal ion ligands include chelating agents capable of associating with the metal ions above in aqueous solution, resulting in a water-soluble metal-chelate complex that absorbs light between about 350 and 700 nm. Illustrative, but by no means limiting, examples of metal-coordination complexes are formed from the association of iron, manganese and copper with chelators such as ethylenediamine tetraacetic acid (EDTA), diethylenetriamine pentaacetic acid (DETPA), nitrilotriacetic acid (NTA), 1-hydroxyethylidene-1,1-diphosphonic acid, ethylenediamine tetra(methylenephosphonic acid), diethylenetriamine penta(methylenephosphonic acid), and polyols such as sorbitol, xylitol, mannitol, maltitol, lactitol and other non-carboxylated polyhydroxy compounds more fully described in EP 443,651, such description being incorporated herein by reference. Any organic multidentate chelating agent capable of forming a photoabsorbing coordination complex with a metal ion can be presumed to have utility in the present inventive compositions for and methods of whitening stained teeth.

Detailed Description Text (11):

The ability of certain metal chelates to act as photosensitizers has been noted in the literature by various workers. For example, Van der Zee, et al ("Hydroxyl Radical Generation by a Light-Dependent Fenton Reaction" in Free Radical Biology & Medicine, Vol. 14, pp 105-113, 1993) described the light-mediated conversion of Fe (III) to Fe (II) in the presence of a chelating agent and hydrogen peroxide. The reduction of Fe (III) chelates by light at 300 nanometers to yield Fe (II) was shown to proceed steadily over a period of about 30 minutes, with conversions to Fe (II) ranging from about 40% to about 80%, depending upon the particular chelating compound studied. The Fe (II) thus created initiated a Fenton-type degradation of the hydrogen peroxide, yielding hydroxyl radicals that were spin-trapped and detected by electron spin resonance (ESR). It was not suggested or implied by the authors that this photochemical reaction would have utility in the oxidation of chromophores, such as those found in a human tooth.

Detailed Description Text (12):

Useful oxidizing compounds include liquids and gels, preferably containing a peroxide or peroxyacid known in the art. Such oxidizing compounds include, but are not limited to, hydrogen peroxide, carbamide peroxide, alkali metal peroxides, alkali metal percarbonates, and alkali metal perborates. Often, it may be desirable to utilize a peroxyacid compound, such as peroxyacetic acid (for instance, when attempting to eliminate highly intractable tooth stains caused by tetracycline) in the tooth whitening composition. The peroxyacid may be included directly within the oxidizing composition (providing that transparency to light energy between about 350 and about 700 nanometers is maintained). Alternatively, the peroxyacid may be formed by combining two or more separate phases (one of which contains a peroxyacid precursor, such as glyceryl triacetate and a second that contains one of the oxidizing compounds listed above) prior to application to the tooth surface. Preferably, the peroxyacid is formed in situ, by contacting the tooth surface with a peroxyacid precursor prior to the application of an oxidizing compound; the peroxyacid is thus formed only on and within the stained tooth structure, where it is most beneficial to the tooth whitening process. Suitable peroxyacid precursors include, but are not limited to, glyceryl triacetate, acetylated amino acids, acetylsalicylic acid, and N,N,N',N'-tetraacetyl ethylenediamine, vinyl acetate polymers and copolymers, acetylcholine, and other biologically acceptable acetylated compounds.

Detailed Description Text (13):

The oxidizing compounds are liquid, gel, or solid compositions transparent to the wavelength(s) of light capable of activating the photosensitizing agent at the tooth surface; light energy otherwise will be attenuated by the film or layer of oxidizing compound between the actinic radiation source and the photosensitizer at the tooth enamel surface. As the tooth enamel surface is the location of the tooth discoloration, the most effective method of whitening teeth will occur when most or all of the light energy reaches the photosensitizer at the tooth enamel surface. An example of a suitable composition that is transparent to light energy between 380 and 500 nm is a 6% hydrogen peroxide gel with a pH of about 7.0 that has been

thickened to approximately 100,000 cps with neutralized carboxypolymethylene.

Detailed Description Text (37):

The following oxidizing composition was prepared, which contained approximately 15% by weight hydrogen peroxide and 1 percent by weight of the photosensitizer precursor 1-hydroxyethylidene-1,1-diphosphonic acid (Dequest 2010, Monsanto Corp., St. Louis, Mo.). Highly purified water (18.2 megaohm, filtered through a 0.2 micron filter) was utilized in order to maintain good stability of the composition during storage. The composition was thickened with a carboxypolymethylene polymer (Carbopol 974P, B.F. Goodrich Co., Cleveland, Ohio) to the consistency of a light, non-runny gel. Glycerin was added in a small percentage as a humectant and stabilizer (as a free radical scavenger), and the Carbopol 974P was neutralized to a pH of 5.00 with ammonium hydroxide, resulting in the formation of a transparent and thixotropic gel.

Detailed Description Text (38):

The above composition was prepared in a plastic mixing chamber by combining, under agitation with a Teflon-coated mixing paddle until a clear solution was obtained, the distilled water, the 1-hydroxyethylidene-1,1-diphosphonic acid, and the glycerin. The Carbopol 974P was then sifted slowly into the vortex created by the mixing paddle and allowed to mix until a homogeneous slurry of the polymer was obtained. Finally, the ammonium hydroxide was added in a constant, dropwise fashion over a period of about 5 minutes until thickening and clarification of the slurry occurred. A pH probe was inserted periodically and the ammonium hydroxide addition proceeded until a pH of exactly 5.00 was obtained. The resulting gel contained 15% by weight hydrogen peroxide, and was highly transparent and thixotropic (non-slumping) in character.

Detailed Description Text (47):

Another transparent hydrogen peroxide gel was prepared that had a lower concentration of oxidizer (3% by weight of H.sub.2 O.sub.2), but at a pH of 7.0 and a much higher viscosity (approximately 1,000,000 cps). The gel below was prepared in accordance with the procedure in Example I, except that a Kynar coated Ross Double Planetary vacuum mixer (Charles Ross & Sons, Hauppauge, N.Y.) was used to handle the elevated viscosity achieved during and after neutralization with the ammonium hydroxide. Sodium stannate was added as an additional stabilizer for the hydrogen peroxide.

Detailed Description Text (48):

The ability of the 3% hydrogen peroxide gel, transparent to visible light between the wavelengths of 380 and 700 nanometers, is demonstrated in Table 3 below.

Detailed Description Text (50):

Extracted human teeth (HE) that were non-cariou and free of amalgam or resin-based restorative materials were utilized to study the ability of the inventive compositions to eliminate the stains from human enamel and dentin. The teeth were coated with a 1-2 mm thick film of an oxidizing gel and irradiated according to the regimens shown in Table IV below. The resulting change in tooth color (.DELTA. Shades) was recorded as the number of VITA.RTM. shade difference between the original baseline VITA.RTM. shade value and the final VITA.RTM. shade value.

Detailed Description Paragraph Table (1):

	Ingredient	Percentage
	Distilled water	49.400
1-hydroxyethylidene-1,1-diphosphonic acid	1.000	Glycerin 99.7% 5.000
<u>hydrogen peroxide</u> 35%	42.900	Carbopol 974P 1.700
		Ammonium hydroxide 29% to pH 5.5
		TOTAL 100.000

Detailed Description Paragraph Table (4):

	Ingredient	Percentage
	Distilled water	81.010
1-hydroxyethylidene-1,1-diphosphonic acid	0.400	Sodium stannate 0.015
<u>hydrogen peroxide</u> 35%	8.570	Carbopol 974P 5.000
		Ammonium hydroxide 29% to pH 7.0
		TOTAL 100.000

CLAIMS:

10. A method as set forth in claim 9, wherein the peroxide is hydrogen peroxide.

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US-CL-CURRENT: 433/216; 433/29

CLAIMS:

What is claimed:

1. A method for light-activated tooth whitening comprising the steps of:

applying a photosensitizing agent which absorbs actinic radiation when in contact with the surface of a tooth to one or more teeth;

applying an tooth-whitening composition containing a transparent carrier compound and a transparent oxidizing compound capable of facilitating tooth whitening on top of said photosensitizing agent; and

exposing said photosensitizing agent and said tooth-whitening composition to actinic light to activate said oxidizing compound.

2. A method as set forth in claim 1 wherein said photosensitizing agent absorbs light having a range of wavelengths from about 350 nanometers to about 700 nanometers and converts that energy into thermal or chemical energy.

3. A method as set forth in claim 2 wherein said photosensitizing agent absorbs light having a range of wavelengths from about 380 nanometers to about 500 nanometers.

4. A method as set forth in claim 1 wherein said photosensitizing agent is of molecular size, pH and surface charge to allow for effective penetration into the structure of the enamel and dentin.

5. A method as set forth in claim 1 wherein said photosensitizing agent is selected from a group consisting of nanometer sized semiconductor particles, benzophenone derivatives, benzotriazole derivatives, diketones, metal-ligand complexes, and phthalocyanin-metal complexes.

6. A method as set forth in claim 1 wherein said light source emits light having a range from about 350 nanometers to about 700 nanometers.

7. A method as set forth in claim 6 wherein said light source emits light having a range from about 380 nanometers to about 500 nanometers.

8. A method as set forth in claim 1, wherein the transparent oxidizing compound is selected from the group consisting of peroxides, peroxyacids and combinations thereof.
9. A method as set forth in claim 8, wherein the transparent oxidizing compound is a peroxide.
10. A method as set forth in claim 9, wherein the peroxide is hydrogen peroxide.
11. A method as set forth in claim 8, wherein the transparent oxidizing compound is a peroxyacid.
12. A method as set forth in claim 11, wherein the peroxyacid is peroxyacetic acid.

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**** See image for Certificate of Correction ****

TITLE: Light activated tooth whitening composition and method of using same

Brief Summary Text (4):

A tooth stain classification system, termed the N (Nathoo) Classification System, has been proposed (J. of the Amer. Dental Asso., Vol. 128, Special Supplement, April 1997). One form of direct dental stain is the N1 type stain which occurs when a chromogenic material binds to the tooth surface to cause discoloration similar in color to that of the unbound chromogen. Another type of direct dental stain is the N2 type stain, in which a chromogenic material binds to the tooth surface and subsequently undergoes a color change after binding to the tooth. Finally, an N3 stain is an indirect dental stain, caused by the binding of a colorless material (prechromogen) to the tooth, said prechromogen undergoing a chemical reaction that converts it into a chromogen that causes tooth stain. Tooth stains may be either extrinsic or intrinsic, depending upon their location within the tooth structure. For example, extrinsic staining of the acquired pellicle arises as a result of compounds such as tannins and other polyphenolic compounds which become trapped in and tightly bound to the proteinaceous layer on the surface of the teeth. This type of staining can usually be removed by mechanical methods of tooth cleaning that remove all or part of the acquired pellicle together with the associated stain. In contrast, intrinsic staining occurs when chromogens or prechromogens penetrate the enamel and dentin and become tightly bound to the tooth structure. Intrinsic staining may also arise from systemic sources of chromogens or prechromogens, for instance, when excess fluoride intake during enamel development leads to the mottled yellow or brown spots typical of fluorosis staining. Intrinsic staining is not amenable to mechanical methods of tooth cleaning and generally requires the use of chemicals, such as hydrogen peroxide, that can penetrate into the tooth structure, in order to affect a change in the light absorptivity of the chromogen. Intrinsic tooth staining is generally more intractable and difficult to remove than extrinsic tooth staining.

Brief Summary Text (6):

Among the chemical strategies available for removing or destroying tooth stains, the most effective compositions contain an oxidizing agent, such as hydrogen peroxide, in order to attack the chromogen molecules in such a way as to render them colorless, water-soluble, or both. In one of the most popular approaches to whitening a patient's teeth, a dental professional will construct a custom-made tooth-bleaching tray for the patient from an impression made of the patient's dentition and prescribe the use of an oxidizing gel to be dispensed into the tooth-bleaching tray and worn intermittently over a period of time ranging from about 2 weeks to about 6 months, depending upon the severity of tooth staining. These oxidizing compositions, usually packaged in small plastic syringes, are dispensed directly by the patient, into the custom-made tooth-bleaching tray, held in place in the mouth for contact times of greater than about 60 minutes, and sometimes as long as 8 to 12 hours. The slow rate of bleaching is in large part the consequence of the very nature of formulations that are developed to maintain stability of the oxidizing composition. The most commonly used oxidative compositions contain the hydrogen peroxide precursor carbamide peroxide which is mixed with an anhydrous or low-water content, hygroscopic viscous carrier containing glycerin and/or propylene glycol and/or polyethylene glycol. When contacted by water, carbamide peroxide dissociates into urea and hydrogen peroxide. Associated with the slow rate of bleaching in the hygroscopic carrier, the currently available

tooth-bleaching compositions cause tooth sensitization in over 50% of patients. Tooth sensitivity is believed to result from the movement of fluid through the dentinal tubules, which is sensed by nerve endings in the tooth. The carriers for the carbamide peroxide enhance this movement. In fact, it has been determined that glycerin, propylene glycol and polyethylene glycol can each give rise to varying amounts of tooth sensitivity following exposure of the teeth to heat, cold, overly sweet substances, and other causative agents.

Brief Summary Text (10):

Attempts have been made to activate peroxides with heat and/or light for the purpose of whitening teeth. U.S. Pat. No. 4,661,070 discloses a method of whitening stained teeth which includes the application of a concentrated solution of hydrogen peroxide within the pulp chamber or upon the surface of a discolored tooth, followed by exposing the discolored tooth to optical energy consisting of both ultraviolet and infrared light. The preferred wavelengths of light disclosed by this patent are from 320 to 420 nanometers and from 700 to 1200 nanometers, with light in the visible spectrum (wavelengths from 500 and 700 nanometers) being suppressed. The disclosed method suffers from two serious drawbacks: (1) ultraviolet light can be hazardous to the patient and practitioner alike and (2) infrared light may cause irreversible pulpitis if not handled with care.

Brief Summary Text (12):

U.S. Pat. No. 5,032,178 discloses compositions and methods to improved tooth whitening efficacy which uses exposure to "optical energy", preferably in the visible spectrum wavelength range of 400 to 700 nanometers. The compositions disclosed in this patent require the use of (1) an inert silica gelling agent, (2) a catalytic accelerator (either manganese sulfate monohydrate or ferrous sulfate), (3) an agent for providing thixoplasticity and thickening properties to the composition, such as cellulose ethers and methyl vinyl ethers, and (4) a means for indicating completion of the bleaching treatment of the teeth, comprising a redox color indicator for transforming from one color to another in response to the dissociation of hydrogen peroxide over a given time period. Compositions described therein are mixed homogeneously prior to use and all of the required components, including the catalyst, are dispersed evenly throughout the mixture. The compositions described are not highly transparent to light energy in the range of 400 to 700 nm, due to the presence of the high levels of inorganic silica particles. Commercial mixtures based on this patent (available under the trade name Shofu Hi-Lite.RTM. from Shofu Dental Corporation, Menlo Park, Calif.) confirm that these preparations are not transparent to visible light, but rather are quite opaque. Typical results obtained using such compositions and methods are about 2 to 3 VITA.RTM. shades improvement in tooth color, similar to that achieved with compositions that do not employ light energy in the process of bleaching teeth.

Brief Summary Text (14):

A commercial product called Opalescence Xtra available for bleaching teeth in the controlled environment of a dental office has recently been introduced by Ultradent Products, Inc, South Jordan, Utah. This product is believed to be based on the disclosure of U.S. Pat. No. 5,785,527. The commercial product is supplied in a plastic syringe and is described in the accompanying literature as a light-activated tooth whitening gel, which contains approximately 35% hydrogen peroxide. A pH determination showed the product to have a neat pH at 25.degree. C. of about 4.0. The product is thickened to a loose, gel-like consistency with a polymer. Additionally, the product as sold, and as disclosed in U.S. Pat. No. 5,785,527, contains a bright orange pigment or dye (carotene), which presumably serves as the "photosensitizer". The manufacturer also claims that the photosensitizer is able to absorb light energy and convert it into heat energy, thereby increasing the activity of the peroxide as a tooth bleaching agent. The presence of a photoabsorber in the aforementioned composition renders it relatively opaque to wavelengths from about 400 to 700 nm. Exposure of this composition to light energy between 400 and 700 nm results in a gradual fading of the orange color, presumably due to a photobleaching effect in the presence of the hydrogen peroxide. Comparative clinical results show an improvement in tooth color of from about 3 to 4 VITA.RTM. shades, which is highly dependent upon the contact time of the composition on the tooth surface, rather than any particular light or heat activation regimen. In addition, the low pH of the commercial product may cause a reduction in the microhardness of tooth enamel, due

to the dissolution of hydroxyapatite crystals (which can occur at a pH of around 5.5 or less).

Detailed Description Text (11):

The ability of certain metal chelates to act as photosensitizers has been noted in the literature by various workers. For example, Van der Zee, et al ("Hydroxyl Radical Generation by a Light-Dependent Fenton Reaction" in Free Radical Biology & Medicine, Vol. 14, pp 105-113, 1993) described the light-mediated conversion of Fe (III) to Fe (II) in the presence of a chelating agent and hydrogen peroxide. The reduction of Fe (III) chelates by light at 300 nanometers to yield Fe (II) was shown to proceed steadily over a period of about 30 minutes, with conversions to Fe (II) ranging from about 40% to about 80%, depending upon the particular chelating compound studied. The Fe (II) thus created initiated a Fenton-type degradation of the hydrogen peroxide, yielding hydroxyl radicals that were spin-trapped and detected by electron spin resonance (ESR). It was not suggested or implied by the authors that this photochemical reaction would have utility in the oxidation of chromophores, such as those found in a human tooth.

Detailed Description Text (12):

Useful oxidizing compounds include liquids and gels, preferably containing a peroxide or peroxyacid known in the art. Such oxidizing compounds include, but are not limited to, hydrogen peroxide, carbamide peroxide, alkali metal peroxides, alkali metal percarbonates, and alkali metal perborates. Often, it may be desirable to utilize a peroxyacid compound, such as peroxyacetic acid (for instance, when attempting to eliminate highly intractable tooth stains caused by tetracycline) in the tooth whitening composition. The peroxyacid may be included directly within the oxidizing composition (providing that transparency to light energy between about 350 and about 700 nanometers is maintained). Alternatively, the peroxyacid may be formed by combining two or more separate phases (one of which contains a peroxyacid precursor, such as glyceryl triacetate and a second that contains one of the oxidizing compounds listed above) prior to application to the tooth surface. Preferably, the peroxyacid is formed in situ, by contacting the tooth surface with a peroxyacid precursor prior to the application of an oxidizing compound; the peroxyacid is thus formed only on and within the stained tooth structure, where it is most beneficial to the tooth whitening process. Suitable peroxyacid precursors include, but are not limited to, glyceryl triacetate, acetylated amino acids, acetylsalicylic acid, and N,N,N',N'-tetraacetyl ethylenediamine, vinyl acetate polymers and copolymers, acetylcholine, and other biologically acceptable acetylated compounds.

Detailed Description Text (13):

The oxidizing compounds are liquid, gel, or solid compositions transparent to the wavelength(s) of light capable of activating the photosensitizing agent at the tooth surface; light energy otherwise will be attenuated by the film or layer of oxidizing compound between the actinic radiation source and the photosensitizer at the tooth enamel surface. As the tooth enamel surface is the location of the tooth discoloration, the most effective method of whitening teeth will occur when most or all of the light energy reaches the photosensitizer at the tooth enamel surface. An example of a suitable composition that is transparent to light energy between 380 and 500 nm is a 6% hydrogen peroxide gel with a pH of about 7.0 that has been thickened to approximately 100,000 cps with neutralized carboxypolymethylene.

Detailed Description Text (37):

The following oxidizing composition was prepared, which contained approximately 15% by weight hydrogen peroxide and 1 percent by weight of the photosensitizer precursor 1-hydroxyethylidene-1,1-diphosphonic acid (Dequest 2010, Monsanto Corp., St. Louis, Mo.). Highly purified water (18.2 megaohm, filtered through a 0.2 micron filter) was utilized in order to maintain good stability of the composition during storage. The composition was thickened with a carboxypolymethylene polymer (Carbopol 974P, B.F. Goodrich Co., Cleveland, Ohio) to the consistency of a light, non-runny gel. Glycerin was added in a small percentage as a humectant and stabilizer (as a free radical scavenger), and the Carbopol 974P was neutralized to a pH of 5.00 with ammonium hydroxide, resulting in the formation of a transparent and thixotropic gel.

Detailed Description Text (38):

The above composition was prepared in a plastic mixing chamber by combining, under agitation with a Teflon-coated mixing paddle until a clear solution was obtained, the distilled water, the 1-hydroxyethylidene-1,1-diphosphonic acid, and the glycerin. The Carbopol 974P was then sifted slowly into the vortex created by the mixing paddle and allowed to mix until a homogeneous slurry of the polymer was obtained. Finally, the ammonium hydroxide was added in a constant, dropwise fashion over a period of about 5 minutes until thickening and clarification of the slurry occurred. A pH probe was inserted periodically and the ammonium hydroxide addition proceeded until a pH of exactly 5.00 was obtained. The resulting gel contained 15% by weight hydrogen peroxide, and was highly transparent and thixotropic (non-slumping) in character.

Detailed Description Text (47):

Another transparent hydrogen peroxide gel was prepared that had a lower concentration of oxidizer (3% by weight of H.sub.2 O.sub.2), but at a pH of 7.0 and a much higher viscosity (approximately 1,000,000 cps). The gel below was prepared in accordance with the procedure in Example I, except that a Kynar coated Ross Double Planetary vacuum mixer (Charles Ross & Sons, Hauppauge, N.Y.) was used to handle the elevated viscosity achieved during and after neutralization with the ammonium hydroxide. Sodium stannate was added as an additional stabilizer for the hydrogen peroxide.

Detailed Description Text (48):

The ability of the 3% hydrogen peroxide gel, transparent to visible light between the wavelengths of 380 and 700 nanometers, is demonstrated in Table 3 below.

Detailed Description Paragraph Table (1):

Ingredient Percentage	
Distilled water	49.400
1-hydroxyethylidene-1,1-diphosphonic acid	1.000
Glycerin	99.7% 5.000
<u>Hydrogen peroxide</u>	35% 42.900
Carbopol 974P	1.700
Ammonium hydroxide	29% to pH 5.5
TOTAL	100.000

Detailed Description Paragraph Table (4):

Ingredient Percentage	
Distilled water	81.010
Glycerin	99.7% 5.000
1-hydroxyethylidene-1,1-diphosphonic acid	0.400
Sodium stannate	0.015
<u>Hydrogen peroxide</u>	35% 8.570
Carbopol 974P	5.000
Ammonium hydroxide	29% to pH 7.0
TOTAL	100.000

CLAIMS:

9. A method as set forth in claim 8, wherein the transparent oxidizing compound is a peroxide.

10. A method as set forth in claim 9, wherein the peroxide is hydrogen peroxide.